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* * * * * * * * * * *   Welcome to STN International   * * * * * * * * * * *
* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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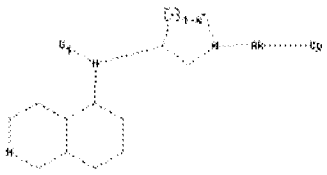

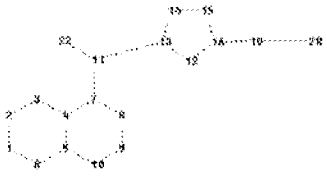

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ring nodes :
1  2  3  4  5  6  7  8  9  10  12  13  14  15  16
chain bonds :
7-11  11-13  11-22  16-19  19-20
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  8-9  9-10  12-13  12-16  13-14  14-15
15-16
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  7-11  8-9  9-10  11-13  11-22  12-13
12-16  13-14  14-15  15-16  16-19  19-20
isolated ring systems :
containing 1 : 12 :


G1:H,Ak

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  19:CLASS  20:Atom  22:CLASS

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L2            11 SEA SSS SAM L1

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L3           140 SEA SSS FUL L1

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=> s l3
L4            8 L3

=> s l4 and pd<sept 2002
      22820146 PD<SEPT 2002
                 (PD<20020900)
L5            1 L4 AND PD<SEPT 2002

=> dis l5 bib abs hitstr
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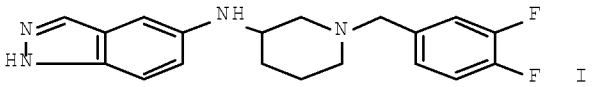
L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:581843 CAPLUS Full-text
DN 135:180762
TI Preparation of nitrogen-containing compounds having kinase inhibitory activity and drugs-containing the same
IN Takami, Atsuya; Iijima, Hiroshi; Iwakubo, Masayuki; Okada, Yuji
PA Kirin Beer Kabushiki Kaisha, Japan
SO PCT Int. Appl., 372 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001056988	A1	20010809	WO 2001-JP721	20010201 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001030564	A	20010814	AU 2001-30564	20010201 <--
	EP 1256574	A1	20021113	EP 2001-902730	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 20040102437	A1	20040527	US 2003-181943	20030519
	US 7217722	B2	20070515		
PRAI	JP 2000-24292	A	20000201		
	WO 2001-JP721	W	20010201		

OS MARPAT 135:180762
GI



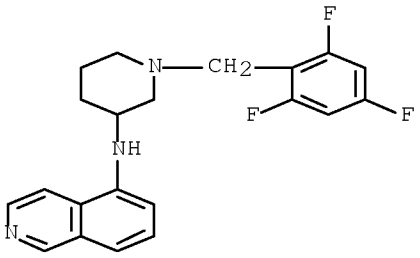
I

AB Title compds. [HetXZ; Het = monocyclic heterocycle or dicycle heterocycle having at least one nitrogen; X = NHCONHQ, NHCOQ1; Q, Q1 independently = bond, alkylene, alkenylene; Z = H halo, monocyclohydrocarbon, dicyclohydrocarbon, tricyclohydrocarbon, heterocycle], pharmaceutically acceptable salts thereof and solvates of the same are prepared as Rho kinase inhibitors. Thus, the title compound I was prepared and biol. tested for blood presure lowering effect in spontaneous hypertensive rats and diminished urine protein excretion effect in rabbits having GBM-antibody-mediated kidney disease.

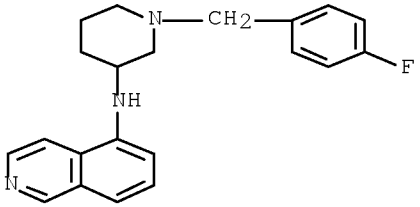
IT 353553-15-8P 353554-19-5P 353554-30-0P
353554-41-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of nitrogen-containing compds. having kinase inhibitory activity)

RN 353553-15-8 CAPLUS

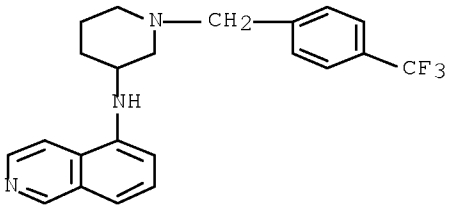
CN 5-Isoquinolinamine, N-[1-[(2,4,6-trifluorophenyl)methyl]-3-piperidinyl]- (CA INDEX NAME)



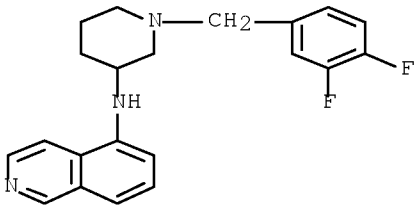
RN 353554-19-5 CAPLUS
CN 5-Isoquinolinamine, N-[1-[(4-fluorophenyl)methyl]-3-piperidinyl]- (CA INDEX NAME)



RN 353554-30-0 CAPLUS
CN 5-Isoquinolinamine, N-[1-[[4-(trifluoromethyl)phenyl]methyl]-3-piperidinyl]- (CA INDEX NAME)



RN 353554-41-3 CAPLUS
CN 5-Isoquinolinamine, N-[1-[(3,4-difluorophenyl)methyl]-3-piperidinyl]- (CA INDEX NAME)



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RE.CNT  236   THERE ARE 236 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l4 not l5
L6            7 L4 NOT L5

=> dis l6 1-7 bib abs fhitstr

L6   ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2008:1070311  CAPLUS  Full-text
DN   149:307683
TI   Piperidine and pyrrolidine derivatives as cytoskeletal active Rho kinase
     inhibitors and their preparation, pharmaceutical compositions and use in
     the treatment of diseases
IN   Lampe, John W.; Watson, Paul S.; Slade, David J.; Peterson, Ward M.;
     Crean, Christopher S.; Vittitow, Jason L.; DeCamp, Jonathan Bryan; Pelz,
     Nicholas F.
PA   USA
SO   U.S. Pat. Appl. Publ., 84pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   US 20080214614       A1    20080904    US 2007-958214          20071217
     WO 2008077057        A2    20080626    WO 2007-US87973         20071218
     WO 2008077057        A3    20080821
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRAI US 2006-870555P      P     20061218
     US 2007-958214       A     20071217
GI
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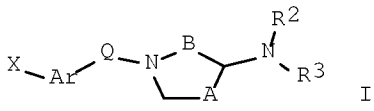

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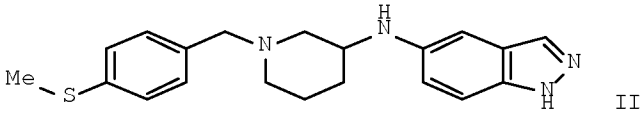


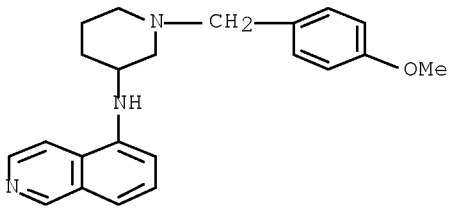
II

AB The invention is directed to synthetic cytoskeletal active compds. that are inhibitors of Rho-associated protein kinase and to pharmaceutical compns. comprising such compds. and a pharmaceutically acceptable carrier. The invention is addnl. directed to a method of preventing or treating diseases or conditions associated with cytoskeletal reorganization. The method treats increased intraocular pressure, such as primary open-angle glaucoma. The method comprises a therapeutically effective amount of a cytoskeletal active compound of formula I, wherein said amount is effective to influence the actomyosin interactions, for example by leading to cellular relaxation and alterations in cell-substratum adhesions. Compds. of formula I wherein Q is CO, SO2 and (CR4R5)0-3; R2 is (un)substituted indazolyl and isoquinolinyl; Ar is monocyclic or bicyclic (hetero)aryl; X is Y-Z-; Y OH and derivs., NH2 and derivs., SH and derivs, SO1-2H and derivs, etc.; Z is absent; R3, R4 and R5 independently is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, etc.; are claimed. Example compound II was prepared by deprotection of 2,2-dimethyl-1-(5-{1-[4-(methylthio)benzyl]piperidin-3-ylamino}-1H-indazol-1-yl)propan-1-one. All the invention compds. were evaluated for their ROCK2 inhibitory activity. From the assay, II exhibited an IC50 value of 65.8 nM.

IT 1035096-21-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine and pyrrolidine derivs. as cytoskeletal active Rho kinase inhibitors useful in the treatment of diseases)

RN 1035096-21-9 CAPLUS

CN 5-Isoquinolinamine, N-[1-[(4-methoxyphenyl)methyl]-3-piperidinyl]- (CA INDEX NAME)



L6 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:770464 CAPLUS Full-text

DN 149:104603

TI Preparation of piperidine and pyrrolidine derivatives as cytoskeletal

active Rho kinase inhibitor compounds

IN Lampe, John W.; Watson, Paul S.; Slade, David J.; Peterson, Ward M.; Crean, Christopher S.; Vittitow, Jason L.; DeCamp, Jonathan Bryan; Pelz, Nicholas F.

PA Inspire Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008077057	A2	20080626	WO 2007-US87973	20071218
	WO 2008077057	A3	20080821		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 20080214614	A1	20080904	US 2007-958214	20071217
PRAI	US 2006-870555P	P	20061218		
	US 2007-958214	A	20071217		

OS MARPAT 149:104603

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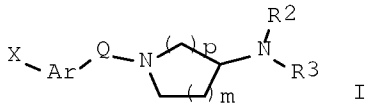

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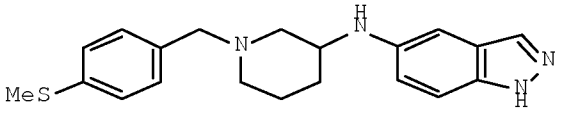


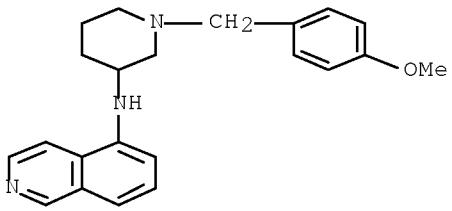
II

AB The invention is directed to synthetic cytoskeletal active compds. that are inhibitors of Rho-associated protein kinase and to pharmaceutical compns. comprising such compds. and a pharmaceutically acceptable carrier. The invention is addnl. directed to a method of preventing or treating diseases or conditions associated with cytoskeletal reorganization. The method treats increased intraocular pressure, such as primary open-angle glaucoma. The method comprises a therapeutically effective amount of a cytoskeletal active compound of formula I, wherein said amount is effective to influence the actomyosin interactions, for example by leading to cellular relaxation and alterations in cell-substratum adhesions. Compds. of formula I [Q = CO, SO2 or (CR4R5)n; m = 1-3; p = 1-2; n = 0-3; R2 = (un)substituted indazolyl,

isoquinolinyl, pyridinyl, etc.; Ar = monocyclic or bicyclic aryl or heteroaryl; X = Y-Z; Y = OR8, NR8R9, SR8, SOR8, etc.; Z = absent; R3, R4 and R5 independently = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R8 and R9 independently = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed. Thus, e.g., II was prepared by reductive amination of 4-(methylthio)benzaldehyde with 2,2-dimethyl-1-[5-[(piperidin-3-yl)amino]-1H-indazol-1-yl]propan-1-one (preparation given) followed by BOC-deprotection. I were evaluated for their ROCK2 inhibitory activity in Rho kinase inhibition assay. From the assay, I demonstrated the ability to inhibit ROCK2 in vitro with IC50 value of < 10 μM, e.g., II showed IC50 of 65.8 nM.

IT 1035096-21-9P, N-[1-(4-Methoxybenzyl)piperidin-3-yl]isoquinolin-5-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine and pyrrolidine derivs. as cytoskeletal active Rho kinase inhibitor compds.)

RN 1035096-21-9 CAPLUS
CN 5-Isoquinolinamine, N-[1-[(4-methoxyphenyl)methyl]-3-piperidinyl]- (CA INDEX NAME)



L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:156464 CAPLUS Full-text
DN 148:206585
TI Rho/ROCK/PI3/Akt kinase inhibitors for the treatment of diseases associated with protozoan parasites
IN Mazier, Dominique; Taoufiq, Zacharie; Ciceron, Liliane; Pino, Paco
PA Universite Pierre et Marie Curie-Paris VI, Fr.
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008015001	A1	20080207	WO 2007-EP6857	20070802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,

GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1891958 A1 20080227 EP 2006-291263 20060803

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

PRAI EP 2006-291263 A 20060803

AB The invention relates to the use of a Rho/ROCK/PI3K/Akt pathway modulator for the manufacture of a medicament intended for the prevention or the treatment of pathologies associated with an infection by a protozoan parasite.

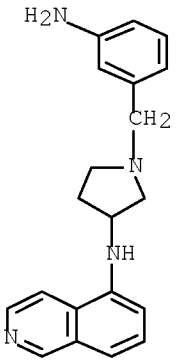
IT 675133-14-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Rho/ROCK/PI3/Akt kinase inhibitor for treatment of disease associated with protozoan parasite)

RN 675133-14-9 CAPLUS

CN 5-Isoquinolinamine, N-[1-[(3-aminophenyl)methyl]-3-pyrrolidinyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1331191 CAPLUS Full-text

DN 146:134606

TI Design and synthesis of rho kinase inhibitors (III)

AU Iwakubo, Masayuki; Takami, Atsuya; Okada, Yuji; Kawata, Takehisa; Tagami, Yoshimichi; Sato, Motoko; Sugiyama, Terumi; Fukushima, Kayoko; Taya, Shinichiro; Amano, Mutsuki; Kaibuchi, Kozo; Iijima, Hiroshi

CS Pharmaceutical Research Laboratories, Kirin Brewery Co. Ltd., Takasaki-shi, Gunma, 370-1295, Japan

SO Bioorganic & Medicinal Chemistry (2007), 15(2), 1022-1033
CODEN: BMECEP; ISSN: 0968-0896

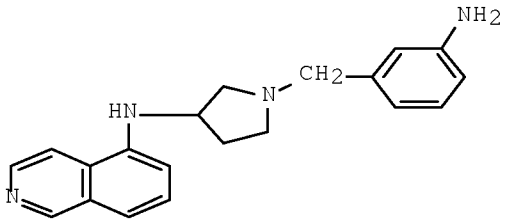
PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 146:134606

GI



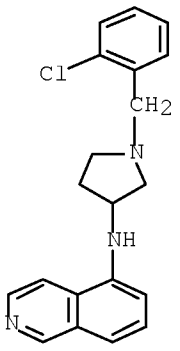
I

AB The structure-activity relationship of Rho kinase inhibitors bearing an isoquinoline scaffold was studied. N-(1-Benzyl-3-pyrrolidyl)-N-(5-isoquinolyl)amine analogs were optimized with respect to their inhibitory potencies for the enzyme and for chemotaxis. The potent analogs were further evaluated by an ex vivo test in which the selected compds. were orally administered to rats, and the Rho kinase inhibitory potency observed in the rat serum was evaluated 3 h after the administration. Compound 23g (I) showed a high level of Rho kinase inhibitory activity in the rat serum and was stable in an in vitro metabolic test using a microsomal cytochrome preparation The (R)-isomer of 23g displayed a higher level of inhibitory potency than the (S)-isomer in a cell-free kinase assay and in the cell migration assay (ICENZ50 = 25 nM and ICMCP50 = 1 μM). The (R)-isomer successfully inhibited the phosphorylation of MBS (myosin-binding subunit) in cells.

IT 675132-98-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzylpyrrolidyl isoquinolylamines as inhibitors of rho kinase and chemotaxis)

RN 675132-98-6 CAPLUS

CN 5-Isoquinolinamine, N-[1-[(2-chlorophenyl)methyl]-3-pyrrolidinyl]- (CA INDEX NAME)



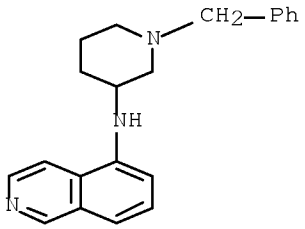
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:916848 CAPLUS Full-text

DN 142:456241

TI Design and synthesis of Rho kinase inhibitors (I). [Erratum to document cited in CA141:046759]
AU Takami, Atsuya; Iwakubo, Masayuki; Okada, Yuji; Kawata, Takehisa; Odai, Hideharu; Takahashi, Nobuaki; Shindo, Kazutoshi; Kimura, Kaname; Tagami, Yoshimichi; Miyake, Mika; Fukushima, Kayoko; Inagaki, Masaki; Amano, Mutsuki; Kaibuchi, Kozo; Iijima, Hiroshi
CS Pharmaceutical Research Laboratories, Kirin Brewery Co. Ltd, Takasaki-shi, Gunma, 370-1295, Japan
SO Bioorganic & Medicinal Chemistry (2004), 12(23), 6317
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB A sentence is added in the Acknowledgements section: "This work was supported by the grant from the Pharmaceuticals and Medical Devices Agency (PMDA).".
IT 675133-21-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of Rho kinase inhibitors (Erratum))
RN 675133-21-8 CAPLUS
CN 5-Isoquinolinamine, N-[1-(phenylmethyl)-3-piperidinyl]- (CA INDEX NAME)



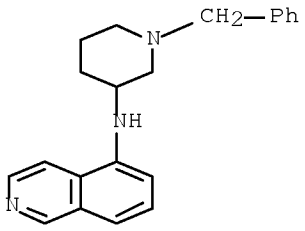
L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:306981 CAPLUS Full-text
DN 141:46759
TI Design and synthesis of Rho kinase inhibitors (I)
AU Takami, Atsuya; Iwakubo, Masayuki; Okada, Yuji; Kawata, Takehisa; Odai, Hideharu; Takahashi, Nobuaki; Shindo, Kazutoshi; Kimura, Kaname; Tagami, Yoshimichi; Miyake, Mika; Fukushima, Kayoko; Inagaki, Masaki; Amano, Mutsuki; Kaibuchi, Kozo; Iijima, Hiroshi
CS Pharmaceutical Research Laboratories, Kirin Brewery Co. Ltd., Gunma, Takasaki-shi, 370-1295, Japan
SO Bioorganic & Medicinal Chemistry (2004), 12(9), 2115-2137
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 141:46759
AB Several structurally unrelated scaffolds of the Rho kinase inhibitor were designed using pharmacophore information obtained from the results of a high-throughput screening and structural information from a homol. model of Rho kinase. A docking simulation using the ligand-binding pocket of the Rho kinase model helped to comprehensively understand and to predict the structure-activity relationship of the inhibitors. This understanding was useful for developing new Rho kinase inhibitors of higher potency and selectivity. We identified several potent platforms for developing the Rho

kinase inhibitors, namely, pyridine, 1H-indazole, isoquinoline, and phthalimide.

IT 675133-21-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of Rho kinase inhibitors)

RN 675133-21-8 CAPLUS

CN 5-Isoquinolinamine, N-[1-(phenylmethyl)-3-piperidinyl]- (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:252504 CAPLUS Full-text

DN 140:287280

TI Isoquinoline derivatives having kinase inhibitory activity and drugs containing the same

IN Iwakubo, Masayuki; Okada, Yuji

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024717	A1	20040325	WO 2003-JP11733	20030912
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2502583	A1	20040325	CA 2003-2502583	20030912
	AU 2003264427	A1	20040430	AU 2003-264427	20030912
	EP 1550660	A1	20050706	EP 2003-795435	20030912
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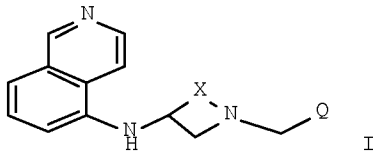
	CN 1694879	A	20051109	CN 2003-825097	20030912
	CN 100383140	C	20080423		
	US 20060167043	A1	20060727	US 2005-527643	20051013
PRAI	JP 2002-267077	A	20020912		
	WO 2003-JP11733	W	20030912		

OS MARPAT 140:287280
GI

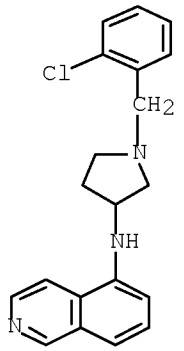


I

AB The patent relates to the synthesis of isoquinoline derivs. which are useful in treating a disease mediated by Rho kinase because of having an Rho kinase inhibitory effect. Namely, a compound of the following general formula I, its pharmaceutically acceptable salt or a solvate thereof: wherein Q = Ph, pyridyl, pyrrolyl, thienyl or furyl optionally having one or two substituents selected from among halogens, alkyls, nitro and amino; and X = (CH2)p, p = 2 or 3. Thus, a titled compound (3R)-N5-[1-(3- aminobenzyl)tetrahydro-1H-3-pyrrolyl]-5-isoquinolineamine prepared from: an intermediate derived by reacting 5-hydroxyisoquinoline with trifluoromethanesulfonic acid anhydride; and an intermediate made by reaction of (3R)-(tert-butoxycarbonylamino)pyrrolidine and 3-nitrobenzylchloride was tested as Rho kinase inhibitor and showed IC50 of 0.023 μM.

IT 675133-51-4P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinoline derivative salts having kinase inhibitory activity)

RN 675133-51-4 CAPLUS
CN 5-Isoquinolinamine, N-[1-[(2-chlorophenyl)methyl]-3-pyrrolidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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